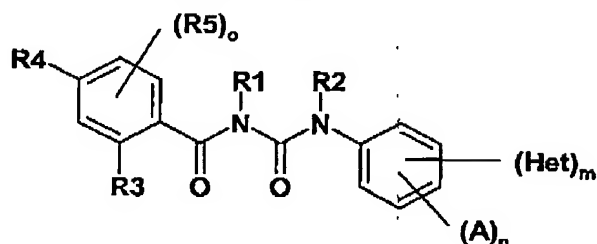


DEAV2002/0050 US NP

We claim:

1. (previously presented) A compound of the formula I,



I

wherein

- R1 and R2 are each independently H, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl or (C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl is optionally substituted by OH, O-(C₁-C₄)-alkyl, NH₂, NH(C₁-C₄)-alkyl or N[(C₁-C₆)-alkyl]₂;
- R3 and R4 are each independently F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;
- R5 is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

DEAV2002/0050 US NP

A is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)_{1,2}-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH₂, SO₂NH-(C₁-C₆)-alkyl, SO₂N-[(C₁-C₆)-alkyl]₂ or NHCOR₆, wherein said (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)_{1,2}-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH-(C₁-C₆)-alkyl and SO₂N-[(C₁-C₆)-alkyl]₂ are optionally mono- or polysubstituted by F, Cl, Br, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON[(C₁-C₆)-alkyl]₂ or OCO-(C₁-C₆)-alkyl;

R₆ is H, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkyl-(C₁-C₄)-alkylene, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-CO-(C₁-C₆)-alkyl, (C₆-C₁₀)-alkylene-COOH, (C₁-C₆)-alkylene-CONH₂, (C₆-C₁₀)-aryl, (C₁-C₄)-alkylene-(C₆-C₁₀)-aryl, heteroaryl, (C₁-C₄)-alkylene-heteroaryl or CO-heteroaryl, wherein said (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkyl-(C₁-C₄)-alkylene, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-CO-(C₁-C₆)-alkyl, (C₆-C₁₀)-alkylene-COOH and (C₁-C₆)-alkylene-CONH₂ are optionally mono- or polysubstituted by F, Cl, Br, O-(C₁-C₄-alkyl), COO-(C₁-C₄-alkyl) or N-[(C₁-C₄)-alkyl]₂ and said (C₆-C₁₀)-aryl, (C₁-C₄)-alkylene-(C₆-C₁₀)-aryl, heteroaryl, (C₁-C₄)-alkylene-heteroaryl and CO-heteroaryl are optionally mono- or polysubstituted by F, Cl, Br, NO₂, CN, O-(C₁-C₄-alkyl), S-COO(C₁-C₄-alkyl), COO-(C₁-C₄-alkyl), N-[(C₁-C₄)-alkyl]₂ or (C₁-C₆)-alkyl;

n is 0, 1, 2 or 3;

DEAV2002/0050 US NP

m is 1, 2, 3, 4 or 5;

o is 0, 1, 2 or 3;

Het is a heterocyclic 4- to 7-membered ring which may contain up to four N, O or S heteroatoms and wherein said heterocyclic 4- to 7-membered ring is optionally substituted by R7, R8 and R9, with the proviso that said heterocyclic 4- to 7-membered ring cannot be pyrrole; and

R7, R8, and R9 are each independently H, F, Cl, Br, (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, OH, oxo, O-(C₁-C₆)-alkyl, NH₂, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COOH, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl or (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl and (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl are optionally substituted by COOH, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, OCO-(C₁-C₆)-alkyl, F, Cl, (C₁-C₆)-alkyl or O-(C₁-C₆)-alkyl; and two radicals selected from said R7, R8 and R9 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring;

and pharmaceutically acceptable salts thereof.

2. (previously presented) The compound of Claim 1 wherein

R1 and R2 are H;

R3 and R4 are each independently F, Cl or Br;

DEAV2002/0050 US NP

- R5 is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;
- A is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH₂, SO₂NH-(C₁-C₆)-alkyl, SO₂N-[(C₁-C₆)-alkyl]₂ or NHCOR₆, wherein said (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH-(C₁-C₆)-alkyl and SO₂N-[(C₁-C₆)-alkyl]₂ are optionally mono- or polysubstituted by F, Cl, Br, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂ or OCO-(C₁-C₆)-alkyl;
- R6 is H, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkyl-(C₁-C₄)-alkylene, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-CONH₂, (C₆-C₁₀)-aryl, (C₁-C₄)-alkylene-(C₆-C₁₀)-aryl, heteroaryl, (C₁-C₄)-alkylene-heteroaryl or CO-heteroaryl, wherein said (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkyl-(C₁-C₄)-alkylene, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH and (C₁-C₆)-

DEAV2002/0050 US NP

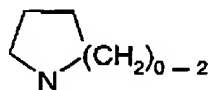
alkylene-CONH₂ are optionally mono- or polysubstituted by F, Cl, Br, O-(C₁-C₄)-alkyl, COO-(C₁-C₄-alkyl), or N-[(C₁-C₄)-alkyl]₂, and said (C₆-C₁₀)-aryl, (C₁-C₄)-alkylene-(C₆-C₁₀)-aryl, heteroaryl, (C₁-C₄)-alkylene-heteroaryl and CO-heteroaryl are optionally mono- or polysubstituted by F, Cl, Br, NO₂, CN, O-(C₁-C₄-alkyl), COO-(C₁-C₄-alkyl), S-COO(C₁-C₄-alkyl), N-[(C₁-C₄)-alkyl]₂ or (C₁-C₆)-alkyl;

n is 0, 1 or 2;

m is 1;

o is 0 or 1;

Het is a heterocyclic 4- to 7-membered ring selected from triazolyl, tetrazolyl, oxadiazolyl, pyrazolyl, benzimidazolyl; furyl, triazinyl or



wherein said heterocyclic 4- to 7-membered ring is optionally substituted by R₇, R₈ and R₉; and

R₇, R₈, and R₉ are each independently H, F, Cl, Br, (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, OH, oxo, O-(C₁-C₆)-alkyl, NH₂, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COOH, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl or (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl and (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl are optionally substituted by COOH, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, OCO-(C₁-C₆)-alkyl, F, Cl, (C₁-C₆)-alkyl or O-(C₁-C₆)-alkyl;

DEAV2002/0050 US NP

and two radicals selected from said R7, R8 and R9 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring;

and pharmaceutically acceptable salts thereof.

3. (previously presented) The compound of Claim 2 wherein

R1 and R2 are H;

R3 and R4 are each independently F, Cl or Br;

R5 is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

A is H, F, Cl, Br, (C₁-C₆)-alkyl, CF₃, OCF₃, NO₂, CN, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl or SO₂-(C₁-C₆)-alkyl;

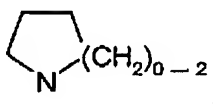
n is 0, 1 or 2;

m is 1;

o is 0 or 1;

DEAV2002/0050 US NP

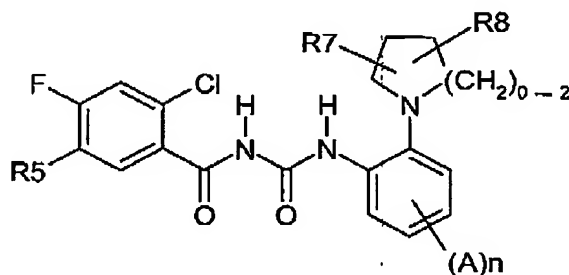
Het is a heterocyclic 4- to 7-membered ring group selected from triazolyl,

tetrazolyl, oxadiazolyl, furyl, triazinyl or , wherein said 4- to 7-membered heterocyclic ring is optionally substituted by R7, R8 and R9; and

R7, R8, and R9 are each independently H, (C₁-C₆)-alkyl, OH, oxo, NH₂, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl or CON-[(C₁-C₆)-alkyl]₂, wherein said (C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl and CON-[(C₁-C₆)-alkyl]₂ are optionally substituted by COOH;

and pharmaceutically acceptable salts thereof.

4. (currently amended) The compound of Claim 1 wherein the compound has the structure Ia



Ia

wherein

R5 is H, F, Cl, Br, (C₁-C₆)-alkyl, CF₃, OCF₃, NO₂, CN, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl or SO₂-(C₁-C₆)-alkyl;

DEAV2002/0050 US NP

A is H, F, Cl, Br, (C₁-C₆)-alkyl, CF₃, OCF₃, NO₂, CN, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl or SO₂-(C₁-C₆)-alkyl;

R₇ is H, (C₁-C₆)-alkyl, (C₀-C₆)-alkylene-aryl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or O-(C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, (C₀-C₆)-alkylene-aryl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and O-(C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

R₈ is -(C=O)-X;

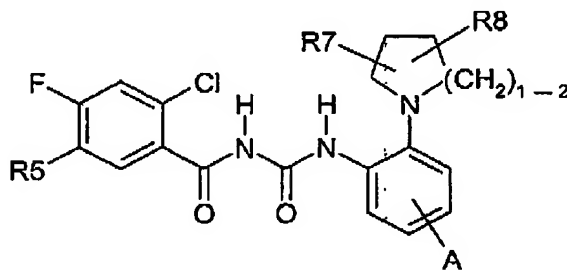
X is OH, O-(C₁-C₆)-alkyl, NH₂, NH-(C₁-C₆)-alkyl or N-((C₁-C₆)-alkyl)₂; and

m is 1 or 2; and

n is 1 or 2;

and pharmaceutically acceptable salts thereof.

5. (previously presented) The compound of Claim 1 wherein the compound has the structure Iaa



Iaa

DEAV2002/0050 US NP

wherein

R5 is H or F;

A is H, F, Cl, (C₁-C₆)-alkyl, CF₃, COO-(C₁-C₆)-alkyl, or SO₂-(C₁-C₆)-alkyl;

R7 is H or phenyl;

R8 is -(C=O)-X; and

X is OH, O-(C₁-C₆)-alkyl, NH₂, NH-(C₁-C₆)-alkyl or N-[(C₁-C₆)-alkyl]₂;

and pharmaceutically acceptable salts thereof.

6. (original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and one or more compounds of Claim 1.

7. (previously presented) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and one or more compounds of Claim 1 and at least one further active ingredient.

8. (withdrawn) The pharmaceutical composition of Claim 7, wherein said further active ingredient is selected from the group consisting of:
antidiabetics, hypoglycemic active ingredients, HMG-CoA reductase inhibitors, cholesterol absorption inhibitors, PPAR gamma agonists, PPAR alpha agonists, PPAR alpha/gamma agonists, fibrates, MTP inhibitors, bile acid absorption inhibitors, CETP inhibitors, polymeric bile acid adsorbents, LDL receptor inducers, ACAT inhibitors, antioxidants, lipoprotein lipase inhibitors, ATP-citrate-lyase inhibitors, squalene synthetase inhibitors, lipoprotein(a) antagonists, lipase inhibitors, insulins, sulfonylureas, biguanides, meglitinides, thiazolidinediones, α -glucosidase inhibitors, active ingredients acting on the ATP-dependent potassium channel of the beta cells, CART agonists, NPY

DEAV2002/0050 US NP

agonists, MC4 agonists, orexin agonists, H3 agonists, TNF agonists, CRF agonists, CRF BP antagonists, urocortin agonists, β 3 agonists, MSH (melanocyte-stimulating hormone) agonists, CCK agonists, serotonin reuptake inhibitors, mixed serotonergic and noradrenergic compounds, 5HT agonists, bombesin agonists, galanin antagonists, growth hormones, growth hormone-releasing compounds, TRH agonists, uncoupling protein 2 or 3 modulators, leptin agonists, DA agonists (bromocriptine, Doprexin), lipase/amylase inhibitors, PPAR modulators, RXR modulators or TR- β agonists or amphetamines.

9. (original) A method of reducing blood sugar comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

10. (original) A method for treating lipid and carbohydrate metabolism disorders comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

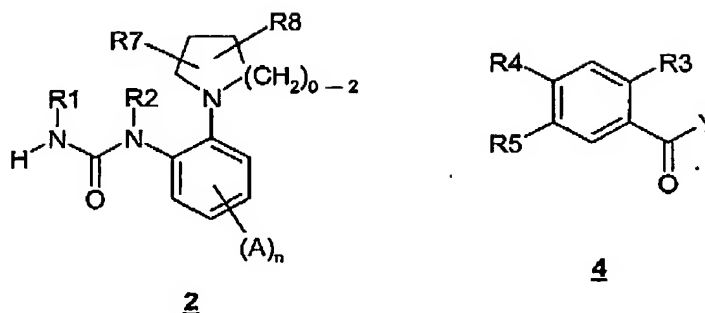
11. (original) A method for treating type 2 diabetes comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

12. (original) A method for treating arteriosclerotic symptoms comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

13. (original) A method for treating insulin resistance comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

14. (previously presented) A process for preparing a compound of Claim 1, which comprises reacting a urea of formula 2 with a compound of formula 4

DEAV2002/0050 US NP



wherein

- R1 and R2** are each independently H, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl or (C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl is optionally substituted by OH, O-(C₁-C₄)-alkyl, NH₂, NH(C₁-C₄)-alkyl or N[(C₁-C₆)-alkyl]₂;
- R3 and R4** are each independently F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;
- R5** is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;
- A** is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH₂, SO₂NH-(C₁-C₆)-

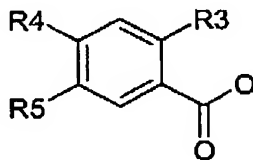
DEAV2002/0050 US NP

alkyl, $\text{SO}_2\text{N}[(\text{C}_1\text{-C}_6)\text{-alkyl}]_2$ or NHCOR_6 , wherein said $(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{CO}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $(\text{C}_1\text{-C}_6)\text{-alkylene-COOH}$, $(\text{C}_1\text{-C}_6)\text{-alkylene-COO}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{SO}_2(\text{C}_1\text{-C}_6)\text{-alkyl}$, $(\text{C}_2\text{-C}_6)\text{-alkenyl}$, $(\text{C}_2\text{-C}_6)\text{-alkynyl}$, $\text{O}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{S}(\text{O})_{1,2}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{NH}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{N}[(\text{C}_1\text{-C}_6)\text{-alkyl}]_2$, $\text{COO}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{CONH}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{CON}[(\text{C}_1\text{-C}_6)\text{-alkyl}]_2$, $\text{SO}_2\text{NH}(\text{C}_1\text{-C}_6)\text{-alkyl}$ and $\text{SO}_2\text{N}[(\text{C}_1\text{-C}_6)\text{-alkyl}]_2$ are optionally mono- or polysubstituted by F, Cl, Br, COOH , $\text{COO}(\text{C}_1\text{-C}_6)\text{-alkyl}$, CONH_2 , $\text{CONH}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{CON}[(\text{C}_1\text{-C}_6)\text{-alkyl}]_2$ or $\text{OCO}(\text{C}_1\text{-C}_6)\text{-alkyl}$;

n is 0, 1, 2 or 3;

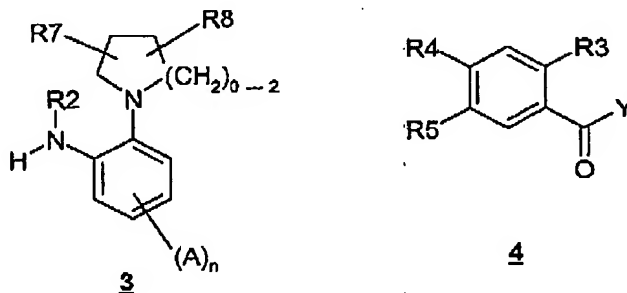
R7 and R8 are each independently H, F, Cl, Br, $(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{O}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{O}(\text{C}_2\text{-C}_6)\text{-alkenyl}$, $\text{O}(\text{C}_2\text{-C}_6)\text{-alkynyl}$, OH, oxo, $\text{O}(\text{C}_1\text{-C}_6)\text{-alkyl}$, NH_2 , $\text{NH}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{N}[(\text{C}_1\text{-C}_6)\text{-alkyl}]_2$, COOH , $\text{CO}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{COO}(\text{C}_1\text{-C}_6)\text{-alkyl}$, CONH_2 , $\text{CONH}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{CON}[(\text{C}_1\text{-C}_6)\text{-alkyl}]_2$, $(\text{C}_0\text{-C}_6)\text{-alkylene-aryl}$ or $(\text{C}_1\text{-C}_6)\text{-alkylene-COO}(\text{C}_1\text{-C}_6)\text{-alkyl}$, wherein said $(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{O}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{O}(\text{C}_2\text{-C}_6)\text{-alkenyl}$, $\text{O}(\text{C}_2\text{-C}_6)\text{-alkynyl}$, $\text{O}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{NH}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{N}[(\text{C}_1\text{-C}_6)\text{-alkyl}]_2$, $\text{CO}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{COO}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{CONH}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{CON}[(\text{C}_1\text{-C}_6)\text{-alkyl}]_2$, $(\text{C}_0\text{-C}_6)\text{-alkylene-aryl}$ and $(\text{C}_1\text{-C}_6)\text{-alkylene-COO}(\text{C}_1\text{-C}_6)\text{-alkyl}$ are optionally substituted by COOH , CONH_2 , $\text{CONH}(\text{C}_1\text{-C}_6)\text{-alkyl}$, $\text{CON}[(\text{C}_1\text{-C}_6)\text{-alkyl}]_2$, $\text{OCO}(\text{C}_1\text{-C}_6)\text{-alkyl}$, F, Cl, $(\text{C}_1\text{-C}_6)\text{-alkyl}$ or $\text{O}(\text{C}_1\text{-C}_6)\text{-alkyl}$; and said R7 and R8 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring; and

Y is Cl or



DEAV2002/0050 US NP

15. (previously presented) A process for preparing a compound of Claim 1, which comprises reacting an aniline derivative of formula 3 with a compound of formula 4



wherein

- R2 is H, O-(C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl or (C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl is optionally substituted by OH, O-(C₁-C₄)-alkyl, NH₂, NH(C₁-C₄)-alkyl or N[(C₁-C₆)-alkyl]₂;
- R3 and R4 are each independently F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;
- R5 is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl or (C₂-C₆)-alkynyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₄)-alkyl, CO-(C₁-C₆)-alkyl, (C₀-C₆)-alkylene-COOH, (C₀-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl and (C₂-C₆)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;
- A is H, F, Cl, Br, OH, NO₂, CN, (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl,

DEAV2002/0050 US NP

(C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH₂, SO₂NH-(C₁-C₆)-alkyl, SO₂N-[(C₁-C₆)-alkyl]₂ or NHCOR₆, wherein said (C₁-C₆)-alkyl, CO-(C₁-C₆)-alkyl, (C₁-C₆)-alkylene-COOH, (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, SO₂-(C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, S(O)₁₋₂-(C₁-C₆)-alkyl-, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, SO₂NH-(C₁-C₆)-alkyl and SO₂N-[(C₁-C₆)-alkyl]₂ are optionally mono- or polysubstituted by F, Cl, Br, COOH, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON[(C₁-C₆)-alkyl]₂ or OCO-(C₁-C₆)-alkyl;

n is 0, 1, 2 or 3;

R7 and R8 are each independently H, F, Cl, Br, (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, OH, oxo, O-(C₁-C₆)-alkyl, NH₂, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, COOH, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl or (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl, wherein said (C₁-C₆)-alkyl, O-(C₁-C₆)-alkyl, O-(C₂-C₆)-alkenyl, O-(C₂-C₆)-alkynyl, O-(C₁-C₆)-alkyl, NH-(C₁-C₆)-alkyl, N-[(C₁-C₆)-alkyl]₂, CO-(C₁-C₆)-alkyl, COO-(C₁-C₆)-alkyl, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, (C₀-C₆)-alkylene-aryl and (C₁-C₆)-alkylene-COO-(C₁-C₆)-alkyl are optionally substituted by COOH, CONH₂, CONH-(C₁-C₆)-alkyl, CON-[(C₁-C₆)-alkyl]₂, OCO-(C₁-C₆)-alkyl, F, Cl, (C₁-C₆)-alkyl or O-(C₁-C₆)-alkyl; and said R7 and R8 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring; and

Y is -N=C=O.

DEAV2002/0050 US NP

16. (previously presented) A compound which is 1-(2-[3-(2-chloro-4,5-difluorobenzoyl)ureido]-4-fluorophenyl)piperidine-4-carboxylic acid and pharmaceutically acceptable salts thereof.